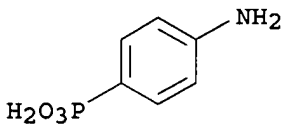
CN Phosphonic acid, (4-aminophenyl)- (9CI) (CA INDEX NAME)

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     FILE 'CAPLUS' ENTERED AT 14:35:02 ON 05 JUL 2002
               S 6881-57-8/REG# AND PHARMACEUTICAL

     FILE 'REGISTRY' ENTERED AT 14:35:28 ON 05 JUL 2002
L1             1 S 6881-57-8/RN

     FILE 'CAPLUS' ENTERED AT 14:35:28 ON 05 JUL 2002
L2           120 S L1
L3             3 S L2 AND PHARMACEUTICAL
L4             2 S L2 AND (BLOOD OR DISEASE)
L5             0 S 1/P

     FILE 'REGISTRY' ENTERED AT 14:38:00 ON 05 JUL 2002
L6        801287 S 1/P
L7         80370 S L6 AND PHOSPHONIC ACID
L8       2810784 S 1/NR
L9         25016 S L7 AND L8
L10        12910 S L9 AND (PHENYL OR BENZYL)
L11         2322 S L10 NOT ESTER
L12         1612 S L11 AND 1/NC
L13         1585 S L12 NOT M/ELS
L14          643 S L13 AND 3/O

     FILE 'CAPLUS' ENTERED AT 14:40:01 ON 05 JUL 2002
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         436228 DRUG
         241764 DRUGS
         563970 DRUG
                  (DRUG OR DRUGS)
         158313 PHARMACEUTICAL
          68076 PHARMACEUTICALS
         197679 PHARMACEUTICAL
                  (PHARMACEUTICAL OR PHARMACEUTICALS)
L16           71 L13 AND (DRUG OR PHARMACEUTICAL)

=> s phosph?/ti and l16
         471255 PHOSPH?/TI
L17           18 PHOSPH?/TI AND L16

=> d ti 1-18

L17  ANSWER 1 OF 18  CAPLUS  COPYRIGHT 2002 ACS
TI   Preparation of phosphate derivatives as immunosuppressants

L17  ANSWER 2 OF 18  CAPLUS  COPYRIGHT 2002 ACS
```

TI Sulfonamidomethyl **phosphonate** inhibitors of .beta.-lactamase

L17 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS
TI **Phosphonated** agents and their antiangiogenic and antitumorigenic use

L17 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2002 ACS
TI Inhibition of .beta.2glycoprotein I binding to anionic **phospholipids**: A strategy for the development of antiphospholipid syndrome-specific **drugs**

L17 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2002 ACS
TI NMR-based discovery of **phosphotyrosine** mimetics that bind to the Lck SH2 domain

L17 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2002 ACS
TI **Phosphonated** agents and their antiangiogenic and antitumorigenic use

L17 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2002 ACS
TI Derivatization of pamidronate and other amino(bis)**phosphonates** with different isothiocyanates prior to ion-pair liquid chromatography

L17 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2002 ACS
TI Preparation of carboxamide-derived **phosphonates** and their use in making **drugs** for cancer, hyperlipidemia, hypercholesterolemia, related cardiovascular diseases, fungal skin diseases and other fungal infections

L17 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2002 ACS
TI Preparation and osteogenesis stimulation by **phosphonic** acid compounds

L17 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2002 ACS
TI In situ characterization of nasal leucine enkephalin degrading aminopeptidase. Susceptibility of the nasal enzyme to boronic acids and **phosphorus**-containing peptide and amino acid isosteres

L17 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2002 ACS
TI Synthesis and bioactivation of bis(aroyloxymethyl) and mono(aroyloxymethyl) esters of benzylphosphonate and **phosphonoacetate**

L17 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2002 ACS
TI pH-stat methodology in continuous monitoring of the kinetics of hydrolysis of **phosphate** esters catalyzed by alkaline **phosphatase** from human placenta. II. Kinetic aspects

L17 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2002 ACS
TI Enzymic preparation of **phosphono**-L-di- or tripeptides, their use as antibacterial agents, and **pharmaceutical** formulations containing them

L17 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2002 ACS
TI **Phosphorus**-containing oligopeptides and a **pharmaceutical** composition containing them

L17 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2002 ACS
TI Substituted **phosphonamides** and a **pharmaceutical** composition which is useful in the treatment of hypertension

L17 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2002 ACS
TI Bioavailability and metabolism of potassium **phosphanilate** in laboratory animals and humans

L17 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2002 ACS
TI Amide derivatives of **phosphonoformic** acid, **pharmaceutical** compositions and methods for combating virus infections

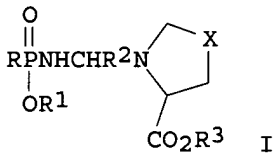
L17 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2002 ACS
TI **Phosphonopeptides** as antibacterial agents: alaphosphin and related **phosphonopeptides**

=> d ibib abs hitstr 15

L17 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1983:89926 CAPLUS
DOCUMENT NUMBER: 98:89926
TITLE: Substituted **phosphonamides** and a **pharmaceutical** composition which is useful in the treatment of hypertension
INVENTOR(S): Greenlee, William J.; Patchett, Arthur A.; Harris, Elbert E.; Thorsett, Eugene D.
PATENT ASSIGNEE(S): Merck and Co., Inc. , USA
SOURCE: Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 58427	A2	19820825	EP 1982-101134	19820216
EP 58427	A3	19831005		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4379146	A	19830405	US 1981-318221	19811105
PRIORITY APPLN. INFO.:			US 1981-235336	19810217
			US 1981-318221	19811105

GI

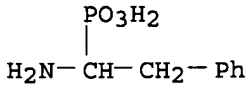


I

AB Phosphonyl peptides I [R = (un)substituted C1-6 alkyl, (un)substituted aralkyl (C1-4 alkyl), (un)substituted heteroaryl (C1-4 alkyl); R1 = H, C1-4 alkyl, aralkyl; R2 = H, C1-6 alkyl, aralkyl; R3 = H, C1-C6 alkyl, aralkyl; X = (CH2)n (n = 1, 2), CH(OMe), CH(OH), S] were prepd. as antihypertensives (no data) due to their ability to inhibit angiotensin-converting enzyme. Thus, dibenzyl phosphite was treated with Ph(CH2)3Br in DMF in the presence of NaH to give Ph(CH2)3P(O)(OCH2Ph)2, which was chlorinated with PCl5 to give Ph(CH2)3P(O)(OCH2Ph)Cl. The latter was treated with H-Ala-Pro-OCH2Ph.HCl in CH2Cl2 contg. Et3N to give Ph(CH2)3P(O)(OCH2Ph)-Ala-Pro-OCH2Ph, which was deblocked by hydrogenolysis over Pd/C in aq. EtOH contg. NaHCO3 to give Ph(CH2)3P(O)(OH)-Ala-Pro-OH.2Na.

IT **6324-00-1**
RL: RCT (Reactant)
(N-benzyloxycarbonylation of)

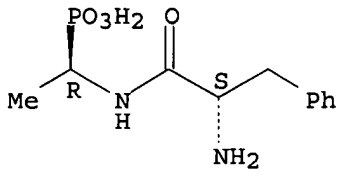
RN 6324-00-1 CAPLUS
CN Phosphonic acid, (1-amino-2-phenylethyl)- (9CI) (CA INDEX NAME)



=> d ibib abs hitstr 18

L17 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1979:483198 CAPLUS
DOCUMENT NUMBER: 91:83198
TITLE: **Phosphonopeptides** as antibacterial agents: alaphosphin and related **phosphonopeptides**
AUTHOR(S): Allen, John G.; Atherton, Frank R.; Hall, Michael J.; Hassall, Cedric H.; Holmes, Simon W.; Lambert, Robert W.; Nisbet, Louis J.; Ringrose, Peter S.
CORPORATE SOURCE: Roche Prod. Ltd., Welwyn Garden City, Engl.
SOURCE: Antimicrob. Agents Chemother. (1979), 15(5), 684-95
CODEN: AMACCQ; ISSN: 0066-4804
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Alaphosphin (L-alanyl-L-1-aminoethylphosphonic acid) [60668-24-8], was selected from a range of phosphonopeptides for evaluation in humans on the basis of its antibacterial activity, pharmacokinetics, and stability to intestinal and kidney peptidase [9031-96-3]. In vitro, the antibacterial action was antagonized by small peptides, resulting in low activity on peptone media. On an antagonist-free medium alaphosphin was bactericidal and rapidly lysed most susceptible gram-neg. bacteria, but it was largely bacteriostatic and essentially nonlytic against gram-pos. organisms. Its spectrum included most strains normally isolated from urinary tract infections, but potency was greatly reduced by very high inoculum levels and by alk. pH. Although strains of Proteus and Pseudomonas were less susceptible to alaphosphin than were other common gram-neg. bacteria, like other species they formed spheroplasts when exposed under appropriate conditions. Alaphosphin was equally effective against penicillin-susceptible and -resistant strains and showed no cross-resistance with known antibiotics. Good synergy and increased bactericidal activity were demonstrated with combinations of alaphosphin and D-cycloserine or .beta.-lactam antibiotics. In vivo, alaphosphin was active against Escherichia coli, Klebsiella aerogenes, and Streptococcus foecalis in a mouse septicemia model given s.c., alaphosphin was rapidly absorbed and eliminated in mice; peak dose-related plasma concns. were reached within 5-10 min. Absorption of orally administered **drug** was 15-20%. Alaphosphin and 3 other phosphonopeptides tested were equally sensitive to kidney and intestinal peptidases.
IT **60668-55-5**
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(bactericidal action of)
RN 60668-55-5 CAPLUS
CN Phosphonic acid, [1-[(2-amino-1-oxo-3-phenylpropyl)amino]ethyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d ibib abs hitstr 13

L17 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1988:4678 CAPLUS
DOCUMENT NUMBER: 108:4678
TITLE: Enzymic preparation of **phosphono**-L-di- or tripeptides, their use as antibacterial agents, and **pharmaceutical** formulations containing them
INVENTOR(S): Le Breton, Monique; Moriniere, Jean Luc; Danree, Bernard; Chasseray, Odile; Rousseau, Claude; Lacolle, Jean Yves
PATENT ASSIGNEE(S): Institut de Recherches Chimiques et Biologiques Appliquees (IRCEBA), Fr.
SOURCE: Eur. Pat. Appl., 25 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 209430	A2	19870121	EP 1986-401371	19860623
EP 209430	A3	19881005		
EP 209430	B1	19920617		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
FR 2584077	A1	19870102	FR 1985-9931	19850628
FR 2584077	B1	19880708		
AT 77411	E	19920715	AT 1986-401371	19860623
JP 62048697	A2	19870303	JP 1986-152604	19860628

PRIORITY APPLN. INFO.: FR 1985-9931 19850628
EP 1986-401371 19860623

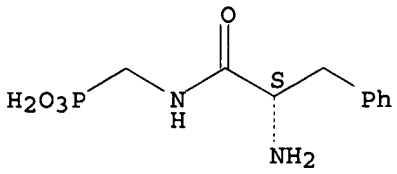
AB The title peptides are prepd. by reaction of (a) an .alpha.-amino acid or a carboxylic dipeptide with (b) an .alpha.-aminophosphonic acid or (a') an .alpha.-aminocarboxylic acid with (b') a phosphono-L-dipeptide at >2 mol (b) or (b') to 1 mol (a) or (a') in an aq. acidic solvent in the presence of papain or chymopapain at 10-70.degree. and collection of the product polypeptides in a sep. phase. These peptides have antibacterial activity against a wide variety of gram-pos. and -neg. bacteria and can be used in medicaments. L-Alanyl-L-(1-aminoethyl)phosphonic acid was synthesized by reacting N-benzyloxycarbonyl-L-alanine with DL-(1-amino)ethylphosphonic acid Et ester in the presence of L-cysteine-HCl and papain at pH 4.5 for 15 h under agitation with CCl4, followed by deprotection using 35% HBr in AcOH. The product, obtained optically pure in 65% yield, was reacted with 2-amino-2-(hydroxymethyl)-1,3-propanediol at 40.degree. for 2 h to give a salt in 99% yield. This salt was effective against gram-pos. and -neg. bacteria, e.g. the min. inhibitory concn. for Escherichia coli was 0.25 .mu.g/mL. **Pharmaceutical** tablets comprised active ingredient 250, corn starch 40, lactose 98, Mg stearate 8, and talc 4 mg.

IT **60668-15-7P**
RL: PREP (Preparation)
(prepn. of, enzymic, as antibacterial agent)

RN 60668-15-7 CAPLUS
CN Phosphonic acid, [[(2-amino-1-oxo-3-phenylpropyl)amino]methyl]-, (S)-

(9CI) (CA INDEX NAME)

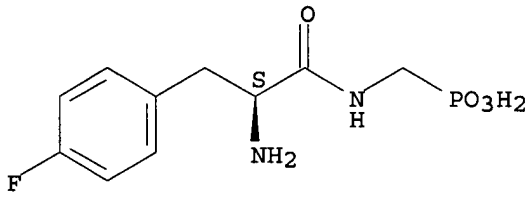
Absolute stereochemistry.



IT **111658-60-7P 111658-61-8P 111658-62-9P**
111658-63-0P 111658-64-1P 111658-65-2P
111658-72-1P
RL: PREP (Preparation)
(prepn. of, enzymic, as bactericide)

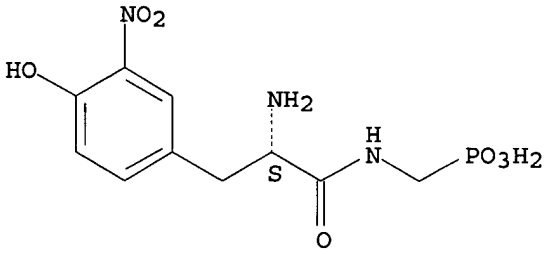
RN 111658-60-7 CAPLUS
CN Phosphonic acid, [[[2-amino-3-(4-fluorophenyl)-1-oxopropyl]amino]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



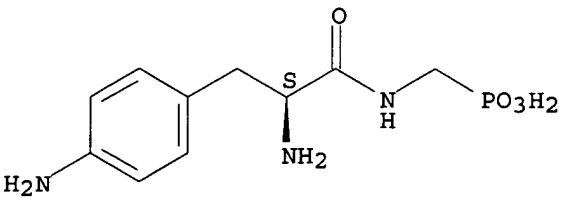
RN 111658-61-8 CAPLUS
CN Phosphonic acid, [[[2-amino-3-(4-hydroxy-3-nitrophenyl)-1-oxopropyl]amino]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



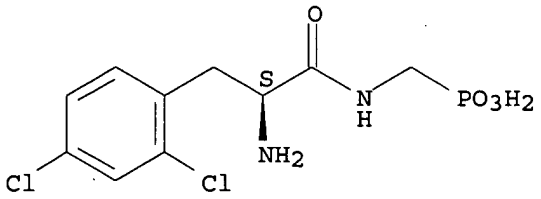
RN 111658-62-9 CAPLUS
CN Phosphonic acid, [[[2-amino-3-(4-aminophenyl)-1-oxopropyl]amino]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



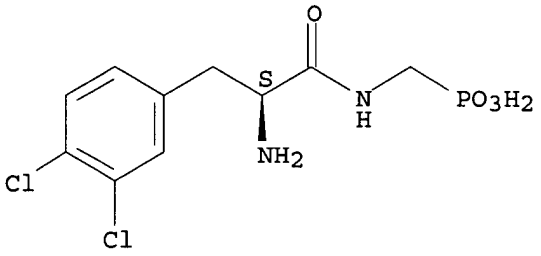
RN 111658-63-0 CAPLUS
CN Phosphonic acid, [[[2-amino-3-(2,4-dichlorophenyl)-1-oxopropyl]amino]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



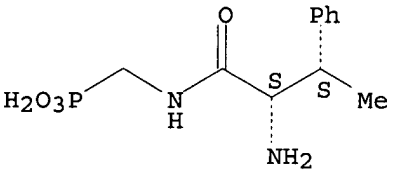
RN 111658-64-1 CAPLUS
CN Phosphonic acid, [[[2-amino-3-(3,4-dichlorophenyl)-1-oxopropyl]amino]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



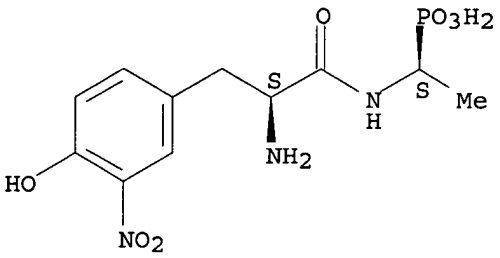
RN 111658-65-2 CAPLUS
CN Phosphonic acid, [[(2-amino-1-oxo-3-phenylbutyl)amino]methyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 111658-72-1 CAPLUS
CN Phosphonic acid, [1-[[2-amino-3-(4-hydroxy-3-nitrophenyl)-1-oxopropyl]amino]ethyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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L17 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:171909 CAPLUS
DOCUMENT NUMBER: 136:216887
TITLE: Preparation of **phosphate** derivatives as immunosuppressants
INVENTOR(S): Mandala, Suzanne; Bergstrom, James; Hajdu, Richard; Rosen, Hugh; Parsons, William H.; Card, Deborah J.; Maccoss, Malcolm
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018395	A1	20020307	WO 2001-US26789	20010828

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-229438P P 20000831
OTHER SOURCE(S): MARPAT 136:216887
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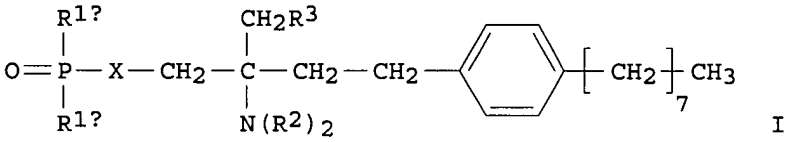


I

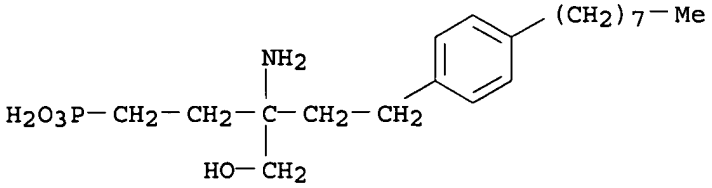
AB Immunoregulatory compds. [I; wherein: X = O, S, NR1, (CH2)1-2, optionally substituted with 1-4 halo groups (R1 = H, (C1-C4)alkyl, (C1-C4)haloalkyl); R1a = H, OH, (C1-C4)alkyl, (C1-C4)alkyloxy, the alkyl and alkyloxy portions being optionally substituted with 1-3 halo groups; R1b = H, OH, (C1-C4)alkyl, (C1-C4)haloalkyl; R2 = H, (C1-C4)alkyl, (C1-C4)haloalkyl; and R3 = H, OH, halo, (C1-C4)alkyloxy, (C1-C4)haloalkyloxy], as well as the pharmaceutically acceptable salts and hydrates thereof, are disclosed. Thus, a multistep prepn. of 3-amino-3-hydroxymethyl-5-(4-octylphenyl)pentylphosphonic acid is described. The compds. are useful as immunosuppressants, particularly in the treatment of bone marrow and organ transplant rejection. **Pharmaceutical** compns. and methods of use are included.

IT **402615-93-4P 402615-95-6P 402615-99-0P**
402616-00-6P 402616-04-0P 402616-06-2P
402616-10-8P 402616-11-9P 402616-14-2P
402616-15-3P 402616-18-6P 402616-20-0P
402616-25-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of phosphate derivs. as immunosuppressants)

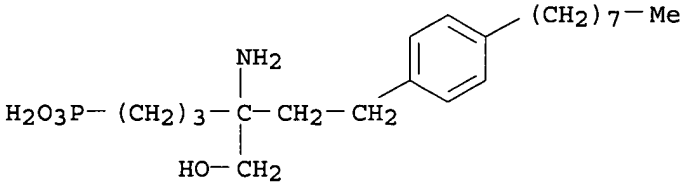
RN 402615-93-4 CAPLUS

CN Phosphonic acid, [3-amino-3-(hydroxymethyl)-5-(4-octylphenyl)pentyl]- (9CI) (CA INDEX NAME)



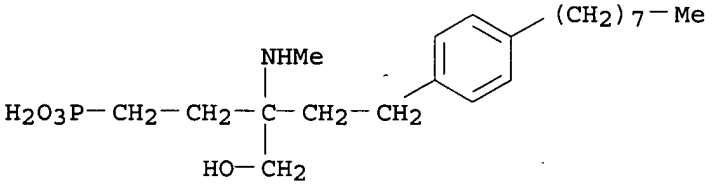
RN 402615-95-6 CAPLUS

CN Phosphonic acid, [4-amino-4-(hydroxymethyl)-6-(4-octylphenyl)hexyl]- (9CI) (CA INDEX NAME)



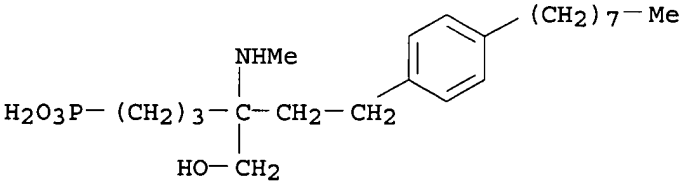
RN 402615-99-0 CAPLUS

CN Phosphonic acid, [3-(hydroxymethyl)-3-(methylamino)-5-(4-octylphenyl)pentyl]- (9CI) (CA INDEX NAME)



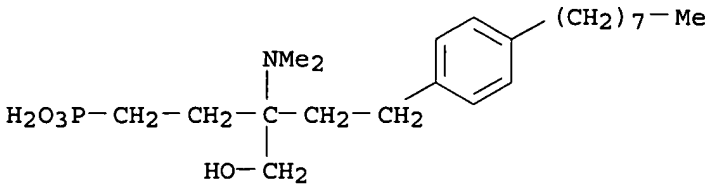
RN 402616-00-6 CAPLUS

CN Phosphonic acid, [4-(hydroxymethyl)-4-(methylamino)-6-(4-octylphenyl)hexyl]- (9CI) (CA INDEX NAME)

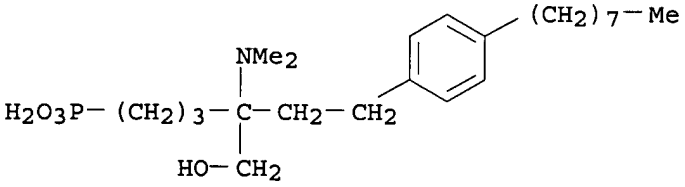


RN 402616-04-0 CAPLUS

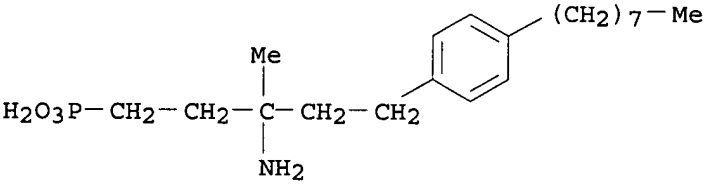
CN Phosphonic acid, [3-(dimethylamino)-3-(hydroxymethyl)-5-(4-octylphenyl)pentyl]- (9CI) (CA INDEX NAME)



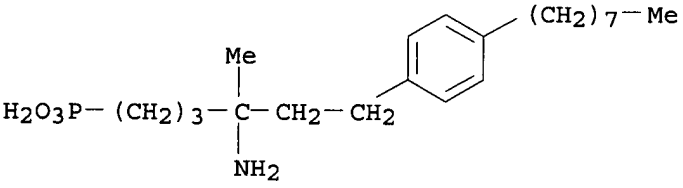
RN 402616-06-2 CAPLUS
CN Phosphonic acid, [4-(dimethylamino)-4-(hydroxymethyl)-6-(4-octylphenyl)hexyl]- (9CI) (CA INDEX NAME)



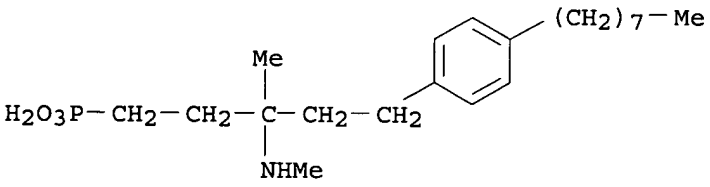
RN 402616-10-8 CAPLUS
CN Phosphonic acid, [3-amino-3-methyl-5-(4-octylphenyl)pentyl]- (9CI) (CA INDEX NAME)



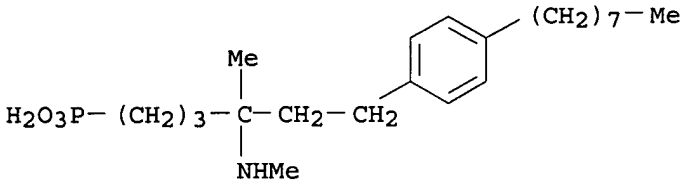
RN 402616-11-9 CAPLUS
CN Phosphonic acid, [4-amino-4-methyl-6-(4-octylphenyl)hexyl]- (9CI) (CA INDEX NAME)



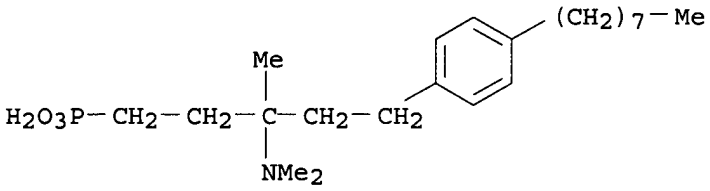
RN 402616-14-2 CAPLUS
CN Phosphonic acid, [3-methyl-3-(methylamino)-5-(4-octylphenyl)pentyl]- (9CI) (CA INDEX NAME)



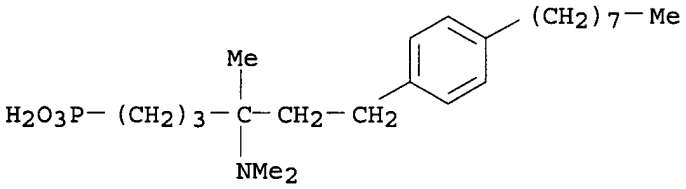
RN 402616-15-3 CAPLUS
CN Phosphonic acid, [4-methyl-4-(methylamino)-6-(4-octylphenyl)hexyl]- (9CI) (CA INDEX NAME)



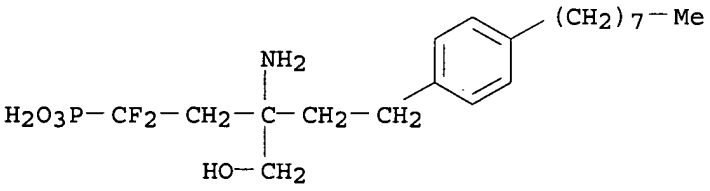
RN 402616-18-6 CAPLUS
CN Phosphonic acid, [3-(dimethylamino)-3-methyl-5-(4-octylphenyl)pentyl]- (9CI) (CA INDEX NAME)



RN 402616-20-0 CAPLUS
CN Phosphonic acid, [4-(dimethylamino)-4-methyl-6-(4-octylphenyl)hexyl]- (9CI) (CA INDEX NAME)



RN 402616-25-5 CAPLUS
CN Phosphonic acid, [3-amino-1,1-difluoro-3-(hydroxymethyl)-5-(4-octylphenyl)pentyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:31512 CAPLUS
DOCUMENT NUMBER: 134:95480
TITLE: Sulfonamidomethyl **phosphonate** inhibitors of .beta.-lactamase

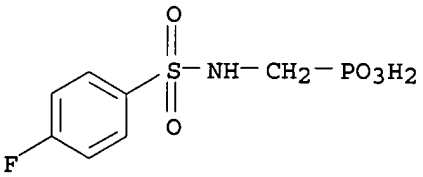
INVENTOR(S): Besterman, Jeffrey M.; Delorme, Daniel; Rahil, Jubrail
PATENT ASSIGNEE(S): Methylgene Inc., Can.
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002411	A1	20010111	WO 2000-US18344	20000705
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1194436	A1	20020410	EP 2000-943381	20000705
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1999-142362P P 19990706
WO 2000-US18344 W 20000705
OTHER SOURCE(S): MARPAT 134:95480

AB The intention relates to bacterial antibiotic resistance and, in particular, to compns. and methods for overcoming bacterial antibiotic resistance. The invention provides novel .beta.-lactamase inhibitors which are structurally unrelated to the natural product and semi-synthetic .beta.-lactamase inhibitors presently available and which do not require a .beta.-lactam pharmacophore. The invention also provides **pharmaceutical** compns. and methods for inhibiting bacterial growth. Prepn. of compds. is also described.

IT **318462-29-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction; sulfonamidomethyl phosphonate .beta.-lactamase inhibitor prepn. and antibacterial use)
RN 318462-29-2 CAPLUS
CN Phosphonic acid, [[[(4-fluorophenyl)sulfonyl]amino]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:531658 CAPLUS
DOCUMENT NUMBER: 133:144896
TITLE: **Phosphonated** agents and their antiangiogenic and antitumorigenic use
INVENTOR(S): Collins, Delwood C.; Gagliardi, Antonio R.; Nickel, Peter
PATENT ASSIGNEE(S): University of Kentucky Research Foundation, USA

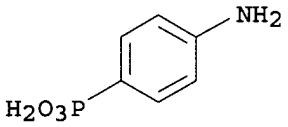
SOURCE: U.S., 21 pp., Cont.-in-part of U.S. Ser. No. 899,996, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6096730	A	20000801	US 1998-121124	19980723
US 6160166	A	20001212	US 1999-357925	19990721

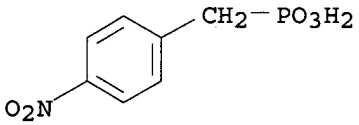
PRIORITY APPLN. INFO.: US 1997-899996 B2 19970724
US 1998-121124 A3 19980723
OTHER SOURCE(S): MARPAT 133:144896

AB Phosphonic acid agents are synthesized and characterized which are potent inhibitors of angiogenesis, tumorigenesis and metalloproteinase activity. Their method of use for the inhibition of angiogenesis and metalloproteinase and the treatment of tumors is also shown.

IT **5337-17-7**, 4-Aminobenzenephosphonic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and **pharmaceutical** compn. of antiangiogenic and antitumorigenic phosphonic acid agents)
RN 5337-17-7 CAPLUS
CN Phosphonic acid, (4-aminophenyl)- (9CI) (CA INDEX NAME)



IT **1205-62-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and **pharmaceutical** compn. of antiangiogenic and antitumorigenic phosphonic acid agents)
RN 1205-62-5 CAPLUS
CN Phosphonic acid, [(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

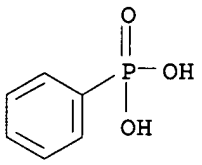
L17 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:745745 CAPLUS
DOCUMENT NUMBER: 132:59008
TITLE: Inhibition of .beta.2glycoprotein I binding to anionic **phospholipids**: A strategy for the development of antiphospholipid syndrome-specific **drugs**
AUTHOR(S): Kohles, Joseph D.; Petersheim, Matthew; Debari, Vincent A.
CORPORATE SOURCE: The Rheumatology Laboratory, Department of Medicine, St. Joseph's Hospital and Medical Center, Paterson, NJ, 07503, USA
SOURCE: Drug Design and Discovery (1999), 16(3), 227-236

CODEN: DDDIEV; ISSN: 1055-9612
PUBLISHER: Harwood Academic Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The binding of .beta.2glycoprotein I (.beta.2GPI) to anionic phospholipids (PL) leads to the presentation of one or more epitopes recognized by autoantibodies from patients with antiphospholipid syndrome (APS). The inhibition of .beta.2GPI binding to PL mixts. coated on polystyrene microtiter wells (MTW) and to large, multilamellar PL vesicles (LMV) was examd. Inhibitors included phosphorylated monosaccharide metabolites, myo-inositol monophosphate (IMP), hexaphosphate (IHP) and hexasulfate (IHS), pyrophosphate (PPi), Me bisphosphonate (MBP) and Ph phosphonate, and a series of carboxylic and arom. sulfonic acids. Inhibitors were incubated with .beta.2GPI at 37.degree. for 2 h either with dimyristoylphosphatidic acid, 80%/dimyristoylphosphatidyl choline, 20% (DMPA/DMPC) coated on MTW or in a suspension of LMV. Phospholipid-bound .beta.2GPI to PA/PC on MTW was detected using an immunoassay based on rabbit anti-.beta.2GPI; free .beta.2GPI (not bound to LMV) was detected by fluorescence spectroscopy. Inhibition was studied over the range 0.01-9.0 .mu.moles/10-4L (0.1-90 mM). Inhibition at max. concn. in the MTW system ranged from 0.1% (for ADP) to > 94% (for IHP). IHP also provided the greatest inhibition in the LMV system (76%) and was also effective in displacing .beta.2GPI already bound to PL surfaces (.apprx.50% displaced at 0.25 mM). These data suggest that a strategy for development of therapeutic agents for APS may be based on the use of small cyclic, org. oligoanions such as inositol derivs. to act as ligands for lysine residues at the PL binding site of .beta.2GPI.

IT **1571-33-1**, Phenyl phosphonic acid
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibition of .beta.2glycoprotein I binding to anionic phospholipids as a strategy for antiphospholipid syndrome-specific **drugs**)

RN 1571-33-1 CAPLUS
CN Phosphonic acid, phenyl- (6CI, 8CI, 9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

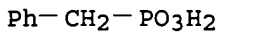
L17 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:571294 CAPLUS
DOCUMENT NUMBER: 131:295122
TITLE: NMR-based discovery of **phosphotyrosine** mimetics that bind to the Lck SH2 domain
AUTHOR(S): Hajduk, Philip J.; Zhou, Ming-Ming; Fesik, Stephen W.
CORPORATE SOURCE: Abbott Laboratories, Abbott Park, IL, 60064, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(16), 2403-2406
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Using an NMR-based screen, a series of novel phosphotyrosine mimetics were discovered that bind to the SH2 domain of Lck. These compds. may serve as useful leads for the design of nonpeptide inhibitors of SH2 domains with

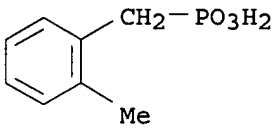
improved bioavailability and metabolic stability compared to the natural ligands that contain phosphotyrosine.

IT **6881-57-8 18896-56-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(NMR-based discovery of phosphotyrosine mimetics that bind to Lck SH2 domain)

RN 6881-57-8 CAPLUS
CN Phosphonic acid, (phenylmethyl)- (9CI) (CA INDEX NAME)



RN 18896-56-5 CAPLUS
CN Phosphonic acid, [(2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT